

INVENTOR SEARCH

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L10 ANSWER 1 OF 9 HCAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2005:732653 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 143:211909
 TITLE: Preparation of fused heteroaryl derivatives
 as p38 kinase inhibitors
 INVENTOR(S): Barker, Michael David; Hamblin, Julie Nicole; Jones, Katherine Louise; Patel, Vipulkumar Kantibhai ; Swanson, Stephen; Walker, Ann Louise
 PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 88 pp.
 CODEN: PIXXD2
 DOCUMENT TYPE: Patent
 LANGUAGE: English
 FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073232	A1	20050811	WO 2005-GB274	20050127
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
EP 1709046	A1	20061011	EP 2005-702029	20050127
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, CY, TR, BG, CZ, EE, HU, PL, SK, HR, IS			
JP 2007519694	T	20070719	JP 2006-550297	20050127
US 20070161673	A1	20070712	US 2006-587989	20060728
PRIORITY APPLN. INFO.:			GB 2004-2137	A 20040130
			WO 2005-GB274	W 20050127

ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT

OTHER SOURCE(S): CASREACT 143:211909; MARPAT 143:211909

GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

AB Title compds. I [A = (un)substituted fused 5-membered heteroaryl ring containing one or two heteroatoms independently selected from O and N; R1 = Me or Cl; R2 = NHCOR3 or CONH(CH2)qR4; R3 = H, alkyl, CF3, etc.; R4 = H, cycloalkyl, alkyl, etc.; q = 0-2; X and Y independently = H, Me or halo; W = N or NO and Z = CH or W = CH and Z = N or NO or W and Z are each independently selected from N and NO] and their pharmaceutically acceptable salts, are prepared and disclosed as p38 kinase inhibitors. Thus, e.g., II was prepared by coupling of N-cyclopropyl-4-methyl-3-(1H-pyrazolo[3,4-c]pyridin-5-yl)benzamide (preparation given) with isopropylsulfonylchloride. The activity

of I was evaluated in fluorescence anisotropy kinase binding assays and it was revealed that compds. of the invention displayed IC50 values of <10 μ M or pKi values of >6. I as p38 kinase inhibitor should prove useful in the treatment of disease states mediated by p38 kinase. Pharmaceutical compns. comprising I are disclosed.

IT 165245-96-5, p38 Kinase

RL: BSU (Biological study, unclassified); BIOL (Biological study)
(preparation of fused heteroaryl derivs. as p38
kinase inhibitors)

RN 165245-96-5 HCAPLUS

CN Kinase (phosphorylating), protein, RK (CA INDEX NAME)

*** STRUCTURE DIAGRAM IS NOT AVAILABLE ***

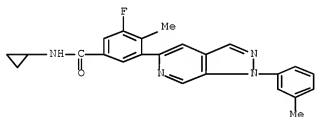
IT 862169-93-5P 862169-94-6P 862169-96-8P

862170-24-9P

RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(preparation of fused heteroaryl derivs. as p38
kinase inhibitors)

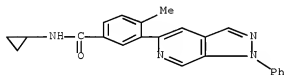
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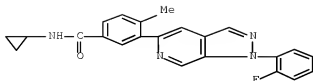
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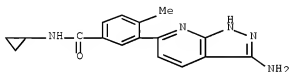
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CN Benzamide, N-cyclopropyl-3-[1-(2-fluorophenyl)-1H-pyrazolo[3,4-c]pyridin-5-
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RN 862170-24-9 HCAPLUS

CN Benzanide, 3-(3-amino-1H-pyrazolo[3,4-b]pyridin-6-yl)-N-cyclopropyl-4-methyl- (CA INDEX NAME)



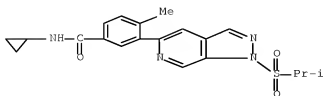
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RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused heteroaryl derivs. as p38 kinase inhibitors)

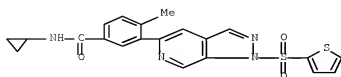
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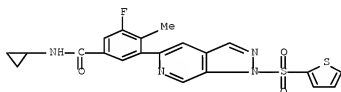
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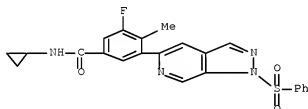
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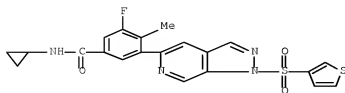
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CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-(phenylsulfonyl)-1H-pyrazolo[3,4-c]pyridin-5-yl]- (CA INDEX NAME)



RN 862169-88-8 HCAPLUS

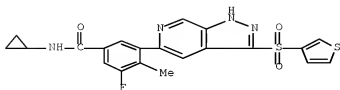
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RN 862169-89-9 HCAPLUS

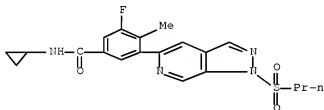
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pyrazolo[3,4-c]pyridin-5-yl]- (CA INDEX NAME)



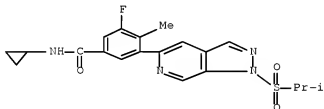
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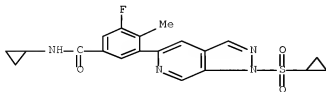
RN 862169-91-3 HCAPLUS

CN Benamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-[(1-methylethyl)sulfonyl]-1H-pyrazolo[3,4-c]pyridin-5-yl]- (CA INDEX NAME)



RN 862169-92-4 HCAPLUS

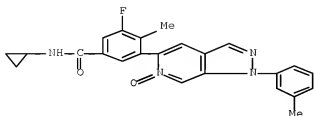
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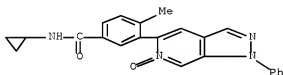
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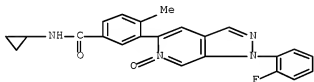
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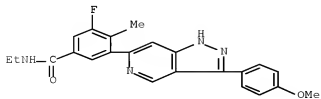
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RN 862169-99-1 HCAPLUS

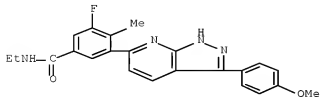
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RN 862170-00-1 HCAPLUS

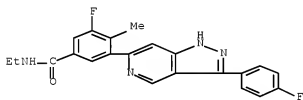
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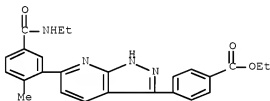
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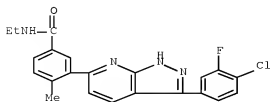
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CN Benzoic acid, 4-[6-[5-[(ethylamino)carbonyl]-2-methylphenyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]-, ethyl ester (CA INDEX NAME)



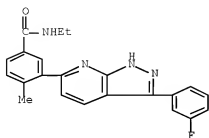
RN 862170-03-4 HCAPLUS

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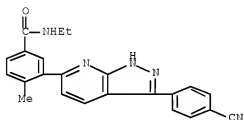
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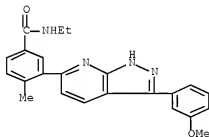
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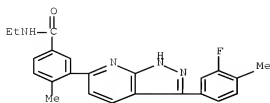
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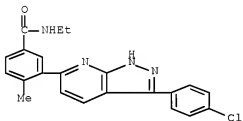
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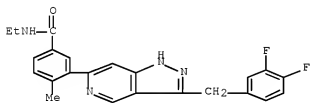
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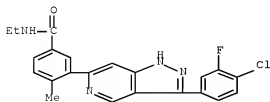
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RN 862170-10-3 HCAPLUS

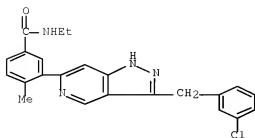
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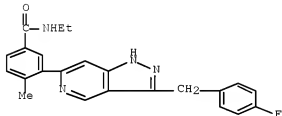
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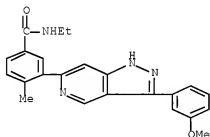
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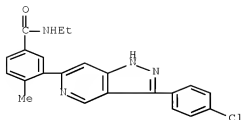
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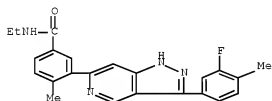
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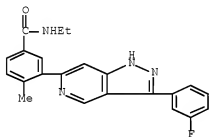
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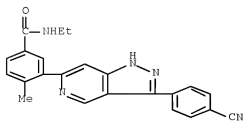
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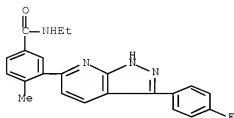
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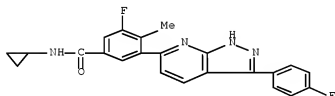
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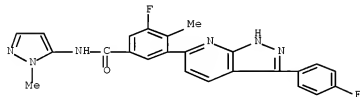
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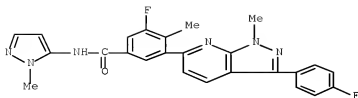
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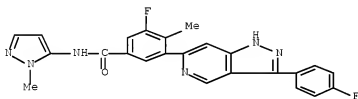
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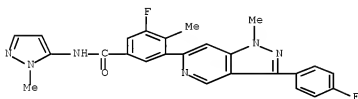
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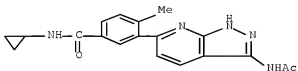
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CN Benzamide, 3-fluoro-5-[3-(4-fluorophenyl)-1-methyl-1H-pyrazolo[4,3-c]pyridin-6-yl]-4-methyl-N-(1-methyl-1H-pyrazol-5-yl)- (CA INDEX NAME)



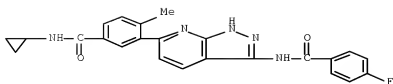
RN 862170-25-0 HCAPLUS

CN Benzamide, 3-[3-(acetylamino)-1H-pyrazolo[3,4-b]pyridin-6-yl]-N-cyclopropyl-4-methyl- (CA INDEX NAME)



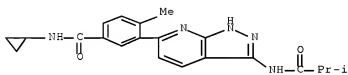
RN 862170-26-1 HCAPLUS

CN Benzamide, N-cyclopropyl-3-[3-[(4-fluorobenzoyl)amino]-1H-pyrazolo[3,4-b]pyridin-6-yl]-4-methyl- (CA INDEX NAME)



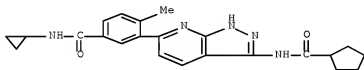
RN 862170-27-2 HCAPLUS

CN Benamide, N-cyclopropyl-4-methyl-3-[3-[(2-methyl-1-oxopropyl)amino]-1H-pyrazolo[3,4-b]pyridin-6-yl]- (CA INDEX NAME)



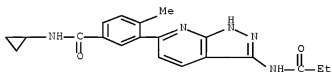
RN 862170-28-3 HCAPLUS

CN Benamide, 3-[3-[(cyclopentylcarbonyl)amino]-1H-pyrazolo[3,4-b]pyridin-6-yl]-N-cyclopropyl-4-methyl- (CA INDEX NAME)



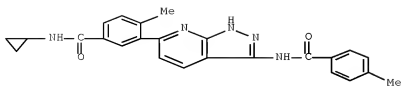
RN 862170-29-4 HCAPLUS

CN Benamide, N-cyclopropyl-4-methyl-3-[3-[(1-oxopropyl)amino]-1H-pyrazolo[3,4-b]pyridin-6-yl]- (CA INDEX NAME)



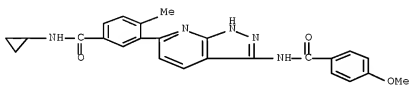
RN 862170-30-7 HCAPLUS

CN Benamide, N-cyclopropyl-4-methyl-3-[3-[(4-methylbenzoyl)amino]-1H-pyrazolo[3,4-b]pyridin-6-yl]- (CA INDEX NAME)



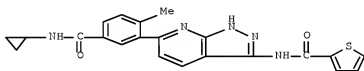
RN 862170-31-8 HCAPLUS

CN Benzamide, N-cyclopropyl-3-[3-[(4-methoxybenzoyl)amino]-1H-pyrazolo[3,4-b]pyridin-6-yl]-4-methyl- (CA INDEX NAME)



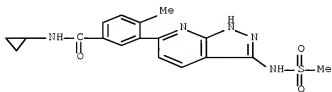
RN 862170-32-9 HCAPLUS

CN 2-Thiophenecarboxamide, N-[6-[5-[(cyclopropylamino)carbonyl]-2-methylphenyl]-1H-pyrazolo[3,4-b]pyridin-3-yl]- (CA INDEX NAME)



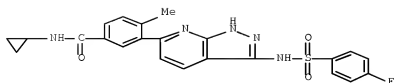
RN 862170-33-0 HCAPLUS

CN Benzamide, N-cyclopropyl-4-methyl-3-[3-[(methylsulfonyl)amino]-1H-pyrazolo[3,4-b]pyridin-6-yl]- (CA INDEX NAME)



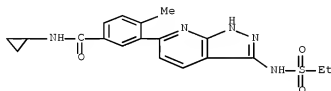
RN 862170-34-1 HCAPLUS

CN Benzamide, N-cyclopropyl-3-[3-[(4-fluorophenyl)sulfonyl]amino]-1H-pyrazolo[3,4-b]pyridin-6-yl]-4-methyl- (CA INDEX NAME)



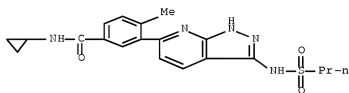
RN 862170-35-2 HCAPLUS

CN Benzamide, N-cyclopropyl-3-[3-[(ethylsulfonyl)amino]-1H-pyrazolo[3,4-b]pyridin-6-yl]-4-methyl- (CA INDEX NAME)



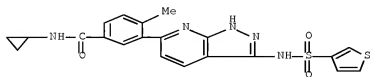
RN 862170-36-3 HCAPLUS

CN Benzamide, N-cyclopropyl-4-methyl-3-[3-[(propylsulfonyl)amino]-1H-pyrazolo[3,4-b]pyridin-6-yl]- (CA INDEX NAME)



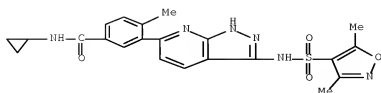
RN 862170-37-4 HCAPLUS

CN Benzamide, N-cyclopropyl-4-methyl-3-[3-[(3-thienylsulfonyl)amino]-1H-pyrazolo[3,4-b]pyridin-6-yl]- (CA INDEX NAME)



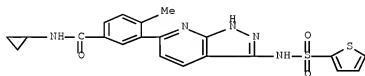
RN 862170-38-5 HCAPLUS

CN Benzamide, N-cyclopropyl-3-[3-[[3,5-dimethyl-4-isoxazolyl)sulfonyl]amino]-1H-pyrazolo[3,4-b]pyridin-6-yl]-4-methyl- (CA INDEX NAME)



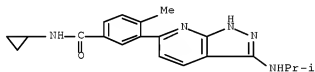
RN 862170-39-6 HCAPLUS

CN Benamide, N-cyclopropyl-4-methyl-3-[3-[(2-thienylsulfonyl)amino]-1H-pyrazolo[3,4-b]pyridin-6-yl]- (CA INDEX NAME)



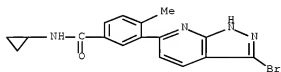
RN 862170-40-9 HCAPLUS

CN Benamide, N-cyclopropyl-4-methyl-3-[3-[(1-methylethyl)amino]-1H-pyrazolo[3,4-b]pyridin-6-yl]- (CA INDEX NAME)



RN 862170-41-0 HCAPLUS

CN Benamide, 3-(3-bromo-1H-pyrazolo[3,4-b]pyridin-6-yl)-N-cyclopropyl-4-methyl- (CA INDEX NAME)

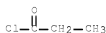


IT 67-64-1, Acetone, reactions 79-03-8, Propionyl chloride 79-30-1, Isobutyryl chloride 98-09-9, Phenylsulfonyl chloride 100-07-2, p-Anisoyl chloride 100-63-0, Phenylhydrazine 349-88-2, 4-Fluorobenzenesulfonyl chloride 350-28-7, 3-Fluoro-4-methylbenzoic acid 403-43-0, 4-Fluorobenzoyl chloride 536-89-0, (3-Methylphenyl)hydrazine 874-60-2, p-Toluoyl chloride 1192-21-8, 5-Amino-1-methylpyrazole 2368-80-1, 2-Fluorophenylhydrazine 4524-93-0, Cyclopentanecarbonyl chloride 5271-67-0,

2-Thiophenecarbonyl chloride 10147-36-1, Propanesulfonyl chloride 10147-37-2, Isopropylsulfonylchloride 16110-09-1, 2,5-Dichloropyridine 16629-19-9, 2-Thiophenesulfonyl chloride 23056-33-9, 2-Chloro-4-methyl-5-nitropyridine 38496-18-3, 2,6-Dichloronicotinic acid 40381-90-6, 2,6-Dichloro-3-pyridinecarbonitrile 51175-71-4, 3-Thiophenesulfonyl chloride 73027-79-9 73183-34-3 80466-79-1, 3,5-Dimethyl-4-isoxazolesulfonyl chloride 131379-14-1, 4-Cyanophenylzinc bromide 131379-16-3, (4-Ethoxycarbonyl)phenylzinc iodide 139631-62-2, Cyclopropylsulfonyl chloride 151073-70-0 181705-93-1, 4-Fluorophenylzinc bromide 220213-92-3, 4-Cyanophenylzinc iodide 254454-47-2, 4-Methoxyphenylzinc iodide 300825-30-3 307496-28-2, 3-Fluorophenylzinc iodide 312693-08-6 312693-42-8 515131-35-8, 4-Methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzoic acid 515135-67-8, N-Cyclopropyl-4-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)benzamide 585544-30-5, [5-[(Cyclopropylamino)carbonyl]-3-fluoro-2-methylphenyl]boronic acid 862170-79-4 862170-82-9 862170-85-2
 RL: RCT (Reactant); RACT (Reactant or reagent)
 (preparation of fused heteroaryl derivs. as p38
 kinase inhibitors)
 RN 67-64-1 HCAPLUS
 CN 2-Propanone (CA INDEX NAME)



RN 79-03-8 HCAPLUS
 CN Propanoyl chloride (CA INDEX NAME)



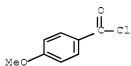
RN 79-30-1 HCAPLUS
 CN Propanoyl chloride, 2-methyl- (CA INDEX NAME)



RN 98-09-9 HCAPLUS
 CN Benzenesulfonyl chloride (CA INDEX NAME)



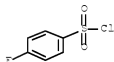
RN 100-07-2 HCAPLUS
 CN Benzoyl chloride, 4-methoxy- (CA INDEX NAME)



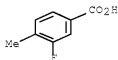
RN 100-63-0 HCAPLUS
 CN Hydrazine, phenyl- (CA INDEX NAME)



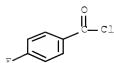
RN 349-88-2 HCAPLUS
 CN Benzenesulfonyl chloride, 4-fluoro- (CA INDEX NAME)



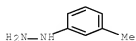
RN 350-28-7 HCAPLUS
 CN Benzoic acid, 3-fluoro-4-methyl- (CA INDEX NAME)



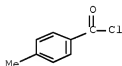
RN 403-43-0 HCAPLUS
 CN Benzoyl chloride, 4-fluoro- (CA INDEX NAME)



RN 536-89-0 HCAPLUS
CN Hydrazine, (3-methylphenyl)- (CA INDEX NAME)



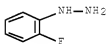
RN 874-60-2 HCAPLUS
CN Benzoyl chloride, 4-methyl- (CA INDEX NAME)



RN 1192-21-8 HCAPLUS
CN 1H-Pyrazol-5-amine, 1-methyl- (CA INDEX NAME)



RN 2368-80-1 HCAPLUS
CN Hydrazine, (2-fluorophenyl)- (CA INDEX NAME)



RN 4524-93-0 HCAPLUS
CN Cyclopentanecarbonyl chloride (CA INDEX NAME)



RN 5271-67-0 HCAPLUS
CN 2-Thiophenecarbonyl chloride (CA INDEX NAME)



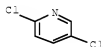
RN 10147-36-1 HCAPLUS
 CN 1-Propanesulfonyl chloride (CA INDEX NAME)



RN 10147-37-2 HCAPLUS
 CN 2-Propanesulfonyl chloride (CA INDEX NAME)



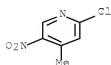
RN 16110-09-1 HCAPLUS
 CN Pyridine, 2,5-dichloro- (CA INDEX NAME)



RN 16629-19-9 HCAPLUS
 CN 2-Thiophenesulfonyl chloride (CA INDEX NAME)

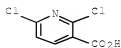


RN 23056-33-9 HCAPLUS
 CN Pyridine, 2-chloro-4-methyl-5-nitro- (CA INDEX NAME)



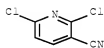
RN 38496-18-3 HCAPLUS

CN 3-Pyridinecarboxylic acid, 2,6-dichloro- (CA INDEX NAME)



RN 40381-90-6 HCAPLUS

CN 3-Pyridinecarbonitrile, 2,6-dichloro- (CA INDEX NAME)



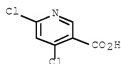
RN 51175-71-4 HCAPLUS

CN 3-Thiophenesulfonyl chloride (CA INDEX NAME)



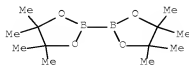
RN 73027-79-9 HCAPLUS

CN 3-Pyridinecarboxylic acid, 4,6-dichloro- (CA INDEX NAME)



RN 73183-34-3 HCAPLUS

CN 2,2'-Bi-1,3,2-dioxaborolane, 4,4,4',4',5,5,5',5'-octamethyl- (CA INDEX NAME)



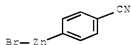
RN 80466-79-1 HCAPLUS

CN 4-Isoxazolesulfonyl chloride, 3,5-dimethyl- (CA INDEX NAME)



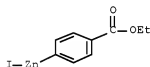
RN 131379-14-1 HCAPLUS

CN Zinc, bromo(4-cyanophenyl)- (CA INDEX NAME)



RN 131379-16-3 HCAPLUS

CN Zinc, [4-(ethoxycarbonyl)phenyl]iodo- (CA INDEX NAME)



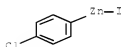
RN 139631-62-2 HCAPLUS

CN Cyclopropanesulfonyl chloride (CA INDEX NAME)



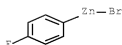
RN 151073-70-0 HCAPLUS

CN Zinc, (4-chlorophenyl)iodo- (CA INDEX NAME)

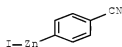


RN 181705-93-1 HCAPLUS

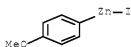
CN Zinc, bromo(4-fluorophenyl)- (CA INDEX NAME)



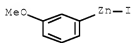
RN 220213-92-3 HCAPLUS
 CN Zinc, (4-cyanophenyl)iodo- (CA INDEX NAME)



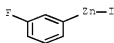
RN 254454-47-2 HCAPLUS
 CN Zinc, iodo(4-methoxyphenyl)- (CA INDEX NAME)



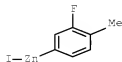
RN 300825-30-3 HCAPLUS
 CN Zinc, iodo(3-methoxyphenyl)- (CA INDEX NAME)



RN 307496-28-2 HCAPLUS
 CN Zinc, (3-fluorophenyl)iodo- (CA INDEX NAME)

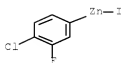


RN 312693-08-6 HCAPLUS
 CN Zinc, (3-fluoro-4-methylphenyl)iodo- (CA INDEX NAME)



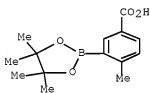
RN 312693-42-8 HCAPLUS

CN Zinc, (4-chloro-3-fluorophenyl)iodo- (CA INDEX NAME)



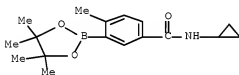
RN 515131-35-8 HCAPLUS

CN Benzoic acid, 4-methyl-3- (4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)- (CA INDEX NAME)



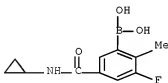
RN 515135-67-8 HCAPLUS

CN Benamide, N-cyclopropyl-4-methyl-3- (4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)- (CA INDEX NAME)



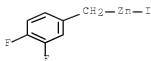
RN 585544-30-5 HCAPLUS

CN Boronic acid, B-[5-[(cyclopropylamino)carbonyl]-3-fluoro-2-methylphenyl]- (CA INDEX NAME)

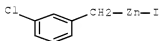


RN 862170-79-4 HCAPLUS

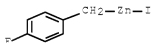
CN Zinc, [(3,4-difluorophenyl)methyl]iodo- (CA INDEX NAME)



RN 862170-82-9 HCAPLUS
 CN Zinc, [(3-chlorophenyl)methyl]iodo- (CA INDEX NAME)



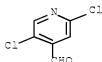
RN 862170-85-2 HCAPLUS
 CN Zinc, [(4-fluorophenyl)methyl]iodo- (CA INDEX NAME)



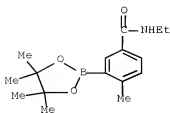
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 862170-47-6P 862170-48-7P 862170-49-8P
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 862170-56-7P 862170-57-8P 862170-58-9P
 862170-59-0P 862170-60-3P 862170-61-4P
 862170-62-5P 862170-63-6P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
 (Reactant or reagent)
 (preparation of fused heteroaryl derivs. as p38
 kinase inhibitors)

RN 102645-33-0 HCAPLUS
 CN 4-Pyridinecarboxaldehyde, 2,5-dichloro- (CA INDEX NAME)

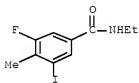


RN 861905-31-9 HCAPLUS
 CN Benzamide, N-ethyl-4-methyl-3-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)- (CA INDEX NAME)



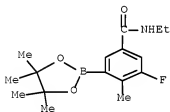
RN 861905-38-6 HCAPLUS

CN Benzamide, N-ethyl-3-fluoro-5-iodo-4-methyl- (CA INDEX NAME)



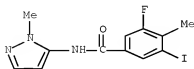
RN 861905-43-3 HCAPLUS

CN Benzamide, N-ethyl-3-fluoro-4-methyl-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)- (CA INDEX NAME)



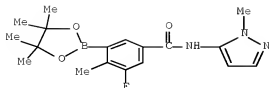
RN 861905-50-2 HCAPLUS

CN Benzamide, 3-fluoro-5-iodo-4-methyl-N-(1-methyl-1H-pyrazol-5-yl)- (CA INDEX NAME)



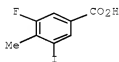
RN 861905-51-3 HCAPLUS

CN Benzamide, 3-fluoro-4-methyl-N-(1-methyl-1H-pyrazol-5-yl)-5-(4,4,5,5-tetramethyl-1,3,2-dioxaborolan-2-yl)- (CA INDEX NAME)



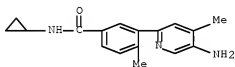
RN 861905-94-4 HCAPLUS

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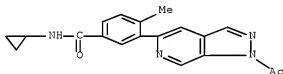
RN 862170-42-1 HCAPLUS

CN Benzamide, 3-(5-amino-4-methyl-2-pyridinyl)-N-cyclopropyl-4-methyl- (CA INDEX NAME)



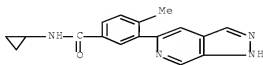
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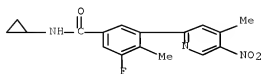
RN 862170-44-3 HCAPLUS

CN Benzamide, N-cyclopropyl-4-methyl-3-(1H-pyrazolo[3,4-c]pyridin-5-yl)- (CA INDEX NAME)



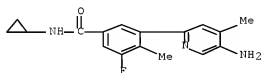
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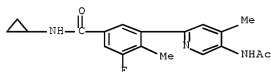
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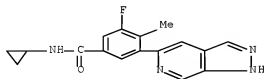
RN 862170-47-6 HCAPLUS

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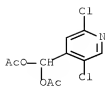
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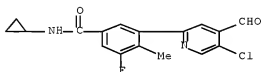
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CN Methanediol, 1-(2,5-dichloro-4-pyridinyl)-, 1,1-diacetate (CA INDEX NAME)



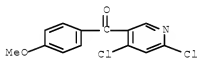
RN 862170-50-1 HCAPLUS

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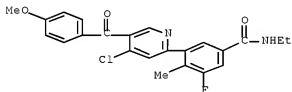
RN 862170-51-2 HCAPLUS

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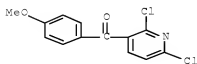
RN 862170-52-3 HCAPLUS

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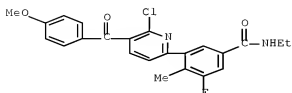
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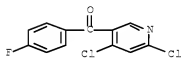
RN 862170-54-5 HCAPLUS

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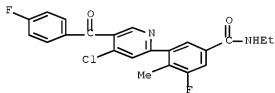
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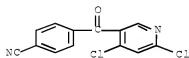
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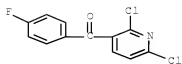
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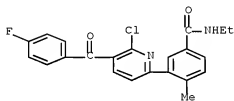
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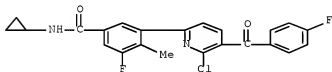
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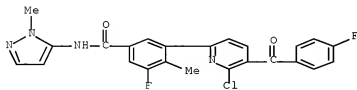
RN 862170-60-3 HCAPLUS

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(CA INDEX NAME)



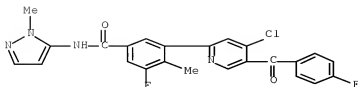
RN 862170-61-4 HCAPLUS

CN Benzamide, 3-[6-chloro-5-(4-fluorobenzoyl)-2-pyridinyl]-5-fluoro-4-methyl-
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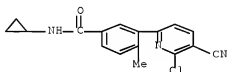


RN 862170-62-5 HCAPLUS

CN Benzamide, 3-[4-chloro-5-(4-fluorobenzoyl)-2-pyridinyl]-5-fluoro-4-methyl-
N-(1-methyl-1H-pyrazol-5-yl)- (CA INDEX NAME)



RN 862170-63-6 HCAPLUS
 CN Benzamide, 3-(6-chloro-5-cyano-2-pyridinyl)-N-cyclopropyl-4-methyl- (CA
 INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
 (2 CITINGS)
 REFERENCE COUNT: 3 THERE ARE 3 CITED REFERENCES AVAILABLE FOR THIS
 RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> file reg

COST IN U.S. DOLLARS	SINCE FILE	TOTAL
	ENTRY	SESSION
FULL ESTIMATED COST	14.54	84.39
DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
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CA SUBSCRIBER PRICE	-0.85	-0.85

FILE 'REGISTRY' ENTERED AT 12:29:34 ON 23 DEC 2010
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STRUCTURE FILE UPDATES: 22 DEC 2010 HIGHEST RN 1257378-35-0
 DICTIONARY FILE UPDATES: 22 DEC 2010 HIGHEST RN 1257378-35-0

New CAS Information Use Policies, enter HELP USAGETERMS for details.

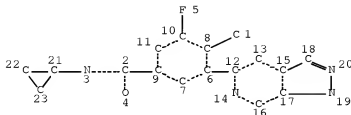
TSCA INFORMATION NOW CURRENT THROUGH June 26, 2010.

Please note that search-term pricing does apply when
 conducting SmartSELECT searches.

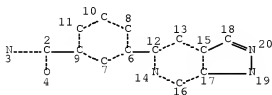
REGISTRY includes numerically searchable data for experimental and
 predicted properties as well as tags indicating availability of
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<http://www.cas.org/support/stngen/stndoc/properties.html>
'_ED' IS DEFAULT FORMAT FOR 'REGISTRY' FILE

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L11  STRUCTURE CREATED
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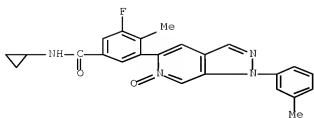
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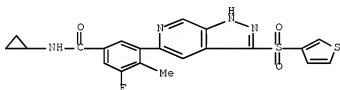
L12 2 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
IN Benzanide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-(3-methylphenyl)-6-oxido-
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PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

HOW MANY MORE ANSWERS DO YOU WISH TO SCAN? (1):1

L12 2 ANSWERS REGISTRY COPYRIGHT 2010 ACS on STN
 IN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[3-(3-thienylsulfonyl)-1H-
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 MF C21 H17 F N4 O3 S2



PROPERTY DATA AVAILABLE IN THE 'PROP' FORMAT

ALL ANSWERS HAVE BEEN SCANNED

=> d his

(FILE 'HOME' ENTERED AT 12:13:54 ON 23 DEC 2010)

FILE 'HCAPLUS' ENTERED AT 12:14:56 ON 23 DEC 2010
 E BAMBOROUGH PAUL/AU

L1 51 S E2-4

FILE 'REGISTRY' ENTERED AT 12:26:15 ON 23 DEC 2010
 E CAMPOS SEBASTIEN/CN

FILE 'HCAPLUS' ENTERED AT 12:26:15 ON 23 DEC 2010
 E CAMPOS SEBASTIEN/AU

L2 3 S E2-3
 E PATEL VIPULKUMAR/AU

L3 69 S E1-6

L4 0 S L1 AND L2 AND L3

L5 118 S L1 OR L2 OR L3

L6 16 S L5 AND ?HETEROARYL?

L7 9 S L6 AND P38

L8 9 S L7 AND P38(W)?KINASE?
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 DELETE SELECT
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FILE 'REGISTRY' ENTERED AT 12:27:52 ON 23 DEC 2010
 L9 131 S E1-131

FILE 'HCAPLUS' ENTERED AT 12:28:01 ON 23 DEC 2010
 L10 9 S L8 AND L9

FILE 'REGISTRY' ENTERED AT 12:29:34 ON 23 DEC 2010
 L11 STRUCTURE 862170-48-7
 L12 2 S L11

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 FULL SCREEN SEARCH COMPLETED - 1517 TO ITERATE

100.0% PROCESSED 1517 ITERATIONS 21 ANSWERS
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L13 21 SEA SSS FUL L11

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COST IN U.S. DOLLARS	SINCE FILE	TOTAL
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FULL ESTIMATED COST	191.54	275.93

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)	SINCE FILE	TOTAL
	ENTRY	SESSION
CA SUBSCRIBER PRICE	0.00	-0.85

FILE 'HCAPLUS' ENTERED AT 12:30:08 ON 23 DEC 2010
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FILE COVERS 1907 - 23 Dec 2010 VOL 153 ISS 26
 FILE LAST UPDATED: 22 Dec 2010 (20101222/ED)
 REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2010
 USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2010

HCAplus now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

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This file contains CAS Registry Numbers for easy and accurate substance identification.

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(FILE 'HOME' ENTERED AT 12:13:54 ON 23 DEC 2010)

FILE 'HCAPLUS' ENTERED AT 12:14:56 ON 23 DEC 2010

E BAMBOROUGH PAUL/AU

L1 51 S E2-4

FILE 'REGISTRY' ENTERED AT 12:26:15 ON 23 DEC 2010

E CAMPOS SEBASTIEN/CN

FILE 'HCAPLUS' ENTERED AT 12:26:15 ON 23 DEC 2010

E CAMPOS SEBASTIEN/AU

L2 3 S E2-3

E PATEL VIPULKUMAR/AU

L3 69 S E1-6

L4 0 S L1 AND L2 AND L3

L5 118 S L1 OR L2 OR L3

L6 16 S L5 AND ?HETEROARYL?

L7 9 S L6 AND P38

L8 9 S L7 AND P38(W)?KINASE?

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DELETE SELECT

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FILE 'REGISTRY' ENTERED AT 12:27:52 ON 23 DEC 2010

L9 131 S E1-131

FILE 'HCAPLUS' ENTERED AT 12:28:01 ON 23 DEC 2010

L10 9 S L8 AND L9

FILE 'REGISTRY' ENTERED AT 12:29:34 ON 23 DEC 2010

STRUCTURE 862170-48-7

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L14 1 L13

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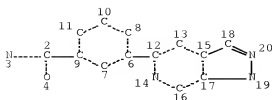
L14 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2010 ACS on STN

IN Barker, Michael David; Hamblin, Julie Nicole; Jones, Katherine Louise;
Patel, Vipulkumar Kantibhai; Swanson, Stephen; Walker, Ann Louise

=> _

=> d que stat l14

L11 STR



NODE ATTRIBUTES:
 DEFAULT MLEVEL IS ATOM
 DEFAULT ELEVEL IS LIMITED

GRAPH ATTRIBUTES:
 RING(S) ARE ISOLATED OR EMBEDDED
 NUMBER OF NODES IS 18

STEREO ATTRIBUTES: NONE
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L14 ANSWER 1 OF 1 HCAPLUS COPYRIGHT 2010 ACS on STN
 ACCESSION NUMBER: 2005:732653 HCAPLUS [Full-text](#)
 DOCUMENT NUMBER: 143:211909

TITLE: Preparation of fused heteroaryl derivatives as p38
 kinase inhibitors

INVENTOR(S): Barker, Michael David; Hamblin, Julie Nicole; Jones,
 Katherine Louise; Patel, Vipulkumar Kantibhai;
 Swanson, Stephen; Walker, Ann Louise

PATENT ASSIGNEE(S): Smithkline Beecham Corporation, USA
 SOURCE: PCT Int. Appl., 88 pp.

CODEN: PIXXD2

DOCUMENT TYPE: Patent
 LANGUAGE: English

FAMILY ACC. NUM. COUNT: 1
 PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2005073232	A1	20050811	WO 2005-GB274	20050127
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
EP 1709046	A1	20061011	EP 2005-70209	20050127
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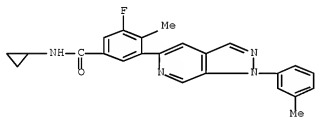
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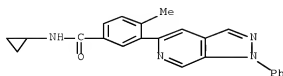
ASSIGNMENT HISTORY FOR US PATENT AVAILABLE IN LSUS DISPLAY FORMAT
 OTHER SOURCE(S): CASREACT 143:211909; MARPAT 143:211909
 GI

* STRUCTURE DIAGRAM TOO LARGE FOR DISPLAY - AVAILABLE VIA OFFLINE PRINT *

- AB Title compds. I [A = (un)substituted fused 5-membered heteroaryl ring containing one or two heteroatoms independently selected from O and N; R1 = Me or Cl; R2 = NHCOR3 or CONH(CH2)qR4; R3 = H, alkyl, CF3, etc.; R4 = H, cycloalkyl, alkyl, etc.; q = 0-2; X and Y independently = H, Me or halo; W = N or NO and Z = CH or W = CH and Z = N or NO or W and Z are each independently selected from N and NO] and their pharmaceutically acceptable salts, are prepared and disclosed as p38 kinase inhibitors. Thus, e.g., II was prepared by coupling of N-cyclopropyl-4-methyl-3-(1H-pyrazolo[3,4-c]pyridin-5-yl)benzamide (preparation given) with isopropylsulfonylchloride. The activity of I was evaluated in fluorescence anisotropy kinase binding assays and it was revealed that compds. of the invention displayed IC50 values of <10 μ M or pKi values of >6. I as p38 kinase inhibitor should prove useful in the treatment of disease states mediated by p38 kinase. Pharmaceutical compns. comprising I are disclosed.
- IT 862169-93-5P 862169-94-6P 862169-96-8P
 RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
 (preparation of fused heteroaryl derivs. as p38 kinase inhibitors)
- RN 862169-93-5 HCAPLUS
- CN Benzamide, N-cyclopropyl-4-methyl-3-(1-phenyl-1H-pyrazolo[3,4-c]pyridin-5-yl)- (CA INDEX NAME)

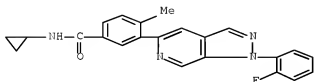


- RN 862169-94-6 HCAPLUS
- CN Benzamide, N-cyclopropyl-4-methyl-3-(1-phenyl-1H-pyrazolo[3,4-c]pyridin-5-yl)- (CA INDEX NAME)



RN 862169-96-8 HCAPLUS

CN Benzamide, N-cyclopropyl-3-[1-(2-fluorophenyl)-1H-pyrazolo[3,4-c]pyridin-5-yl]-4-methyl- (CA INDEX NAME)



IT 862169-84-4P 862169-85-3P 862169-86-6P

862169-87-7P 862169-88-8P 862169-89-9P

862169-90-2P 862169-91-3P 862169-92-4P

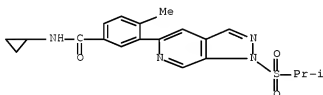
862169-95-7P 862169-97-9P 862169-98-0P

RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of fused heteroaryl derivs. as p38 kinase inhibitors)

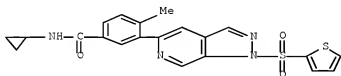
RN 862169-84-4 HCAPLUS

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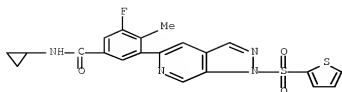
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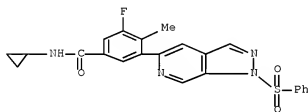
RN 862169-86-6 HCAPLUS

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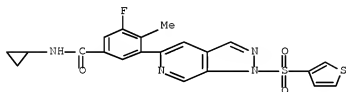
RN 862169-87-7 HCAPLUS

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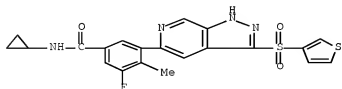
RN 862169-88-8 HCAPLUS

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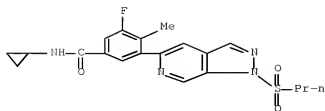
RN 862169-89-9 HCAPLUS

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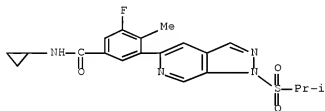
RN 862169-90-2 HCAPLUS

CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-(propylsulfonyl)-1H-pyrazolo[3,4-c]pyridin-5-yl]- (CA INDEX NAME)



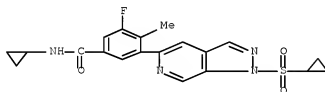
RN 862169-91-3 HCAPLUS

CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-[1-((1-methylethyl)sulfonyl)-1H-pyrazolo[3,4-c]pyridin-5-yl]- (CA INDEX NAME)



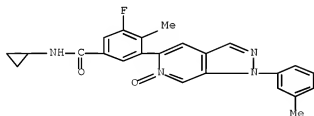
RN 862169-92-4 HCAPLUS

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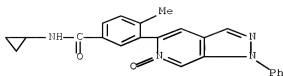
RN 862169-95-7 HCAPLUS

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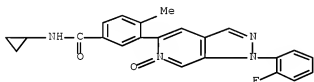
RN 862169-97-9 HCAPLUS

CN Benzamide, N-cyclopropyl-4-methyl-3-(6-oxido-1-phenyl-1H-pyrazolo[3,4-c]pyridin-5-yl)- (CA INDEX NAME)



RN 862169-98-0 HCAPLUS

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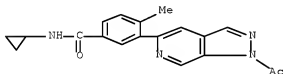


IT 862170-43-2P 862170-44-3P 862170-48-7P

RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of fused heteroaryl derivs. as p38 kinase inhibitors)

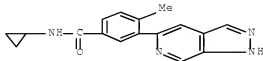
RN 862170-43-2 HCAPLUS

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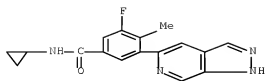
RN 862170-44-3 HCAPLUS

CN Benzamide, N-cyclopropyl-4-methyl-3-(1H-pyrazolo[3,4-c]pyridin-5-yl)- (CA INDEX NAME)



RN 862170-48-7 HCAPLUS

CN Benzamide, N-cyclopropyl-3-fluoro-4-methyl-5-(1H-pyrazolo[3,4-c]pyridin-5-yl)- (CA INDEX NAME)



OS.CITING REF COUNT: 2 THERE ARE 2 CAPLUS RECORDS THAT CITE THIS RECORD
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RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

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FILE 'HCAPLUS' ENTERED AT 12:14:56 ON 23 DEC 2010

E BAMBOROUGH PAUL/AU

L1 51 SEA ABB=ON ("BAMBOROUGH P"/AU OR "BAMBOROUGH PAUL"/AU OR
"BAMBOROUGH PAUL LINDSAY"/AU)

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E PATEL VIPULKUMAR/AU

L3 69 SEA ABB=ON ("PATEL VIPUL R"/AU OR "PATEL VIPUL V"/AU OR
"PATEL VIPULKUMAR"/AU OR "PATEL VIPULKUMAR K"/AU OR "PATEL
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L4 0 SEA ABB=ON L1 AND L2 AND L3

L5 118 SEA ABB=ON L1 OR L2 OR L3

L6 16 SEA ABB=ON L5 AND ?HETEROARYL?

L7 9 SEA ABB=ON L6 AND P38

L8 9 SEA ABB=ON L7 AND P38(W)?KINASE?

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9 SEA ABB=ON L8 AND L9

L11 FILE 'REGISTRY' ENTERED AT 12:29:34 ON 23 DEC 2010
STRUCTURE 862170-48-7

L12 2 SEA SSS SAM L11

L13 21 SEA SSS FUL L11

L14 FILE 'HCAPLUS' ENTERED AT 12:30:08 ON 23 DEC 2010
1 SEA ABB=ON L13

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REVISED CLASS FIELDS (/NCL) LAST RELOADED: Aug 2010
USPTO MANUAL OF CLASSIFICATIONS THESAURUS ISSUE DATE: Aug 2010

HCAPLUS now includes complete International Patent Classification (IPC) reclassification data for the fourth quarter of 2010.

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